

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
11 December 2003 (11.12.2003)

PCT

(10) International Publication Number  
**WO 03/101600 A2**

(51) International Patent Classification<sup>7</sup>:

**B01J**

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW.

(21) International Application Number: PCT/CN03/00431

(22) International Filing Date: 3 June 2003 (03.06.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/384,971	3 June 2002 (03.06.2002)	US
60/418,100	11 October 2002 (11.10.2002)	US
10/291,327	8 November 2002 (08.11.2002)	US

(71) Applicant and

(72) Inventor: **JIN, Tuo** [CN/CN]; N° 406, Qixiangtai Road, Nankai District, Tianjin 300070 (CN).

(72) Inventors: **ZHU, Hua**; 19 Birch Drive, Plainboro, NJ 08536 (US). **ZHU, Jiahao**; 1864, W 12th Street, Brooklyn, NY 11223 (US).

(74) Agent: **CHINA SCIENCE PATENT & TRADEMARK AGENT LTD**; 16/F, Zhongke Building, No. 80, Haidian Road, Haidian District, Beijing 100080 (CN).

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.



**A2**

**WO 03/101600**

(54) Title: **HAZARD-FREE MICROENCAPSULATION FOR STRUCTURALLY DELICATE AGENTS, AN APPLICATION OF STABLE AQUEOUS-AQUEOUS EMULSION**

(57) Abstract: This invention provides method for sustained release delivery of structurally delicate agents such as proteins and peptides. Using a unique emulsion system (Stable polymer aqueous-aqueous emulsion), proteins and peptides can be microencapsulated in polysaccharide glassy particles under a condition free of any chemical or physical hazard such as organic solvents, strong interfacial tension, strong shears, elevated temperature, large amount of surfactants, and cross-linking agents. Proteins loaded in these glassy particles showed strong resistance to organic solvents, prolonged activity in hydrated state, and an excellent sustained release profile with minimal burst and incomplete release when being further loaded in degradable polymer microspheres. This invention provides a simple yet effective approach to address all the technical challenges raised in sustained release delivery of proteins.